

# Darifenacin (hydrobromide)

Catalog No: tcsc0380



## Available Sizes

**Size:** 10mg

**Size:** 100mg



## Specifications

**CAS No:**

133099-07-7

**Formula:**

$C_{28}H_{31}BrN_2O_2$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

mAChR;mAChR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

507.46

## Product Description

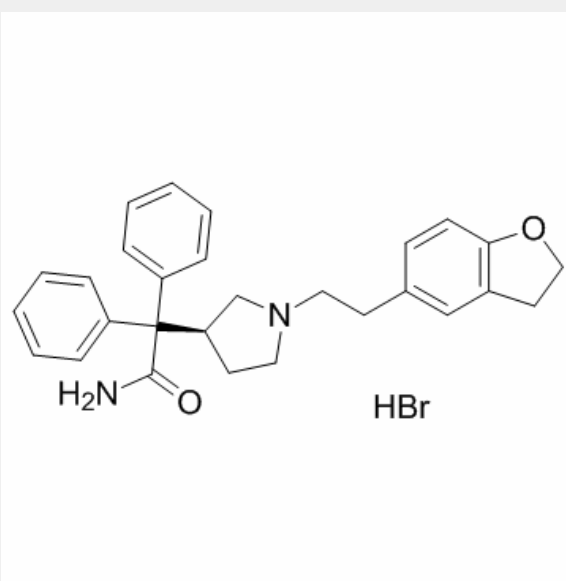
Darifenacin HBr(UK88525) is a selective M3 muscarinic receptor antagonist with pKi of 8.9.

IC50 value: 8.9 (pKi) [1]

Target: M3 receptor

in vitro: Darifenacin exerts non-parallel rightward displacement of the agonist curve and also significant depression of the maximum response (+)-cis-Dioxolane produced concentration-dependent contraction of the isolated bladder of rat [1]. Darifenacin produces a concentration dependent increase in R123 (P-gp probe) accumulation in MDCK cells. Darifenacin stimulates ATPase activity in P-gp membrane in a clear concentration dependent response manner with an estimated ED50 value of 1.6  $\mu$ M. Darifenacin (100 nM) shows a significantly greater permeability for darifenacin in the basolateral to apical direction resulting in an efflux ratio in BBMEC monolayers of approximately 2.6 [2].

in vivo: Darifenacin produces dose-dependent inhibition of amplitude of volume-induced bladder contractions(VIBCAMP), producing 35% inhibition at dose of 283.3 nmol/kg and maximal inhibition of approximately 50-55% [1]. Darifenacin (0.1 mg/kg i.v.) reduces bladder afferent activity in both A $\delta$  and C fibers in female Sprague-Dawley rats, the decrease in afferent spikes in C fibers may be more pronounced than that in A $\delta$  fibers [3].



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